PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference SP-P2092PC00	**FOR FURTHER ACTION**	See Form PCT/IPEA/416
International application No. PCT/EP2005/051241	International filing date *(day/month/year)* 17.03.2005	Priority date *(day/month/year)* 19.03.2004
International Patent Classification (IPC) or national classification and IPC INV. C07D209/12 C07D401/06 A61K31/404 A61P9/10 A61P9/12		
Applicant SPEEDEL EXPERIMENTA AG et all.		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 8 sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising:
   a. ☒ *sent to the applicant and to the International Bureau)* a total of 12 sheets, as follows:
      ☒ sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
      ☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
   b. ☐ *(sent to the International Bureau only)* a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

☒	Box No. I	Basis of the report
☐	Box No. II	Priority
☒	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
☐	Box No. IV	Lack of unity of invention
☒	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
☐	Box No. VI	Certain documents cited
☐	Box No. VII	Certain defects in the international application
☒	Box No. VIII	Certain observations on the international application

Date of submission of the demand	Date of completion of this report
09.11.2005	27.07.2006
Name and mailing address of the international preliminary examining authority: European Patent Office - Gitschiner Str. 103 D-10958 Berlin Tel. +49 30 25901 - 0 Fax: +49 30 25901 - 840	Authorized officer Hass, C Telephone No. +49 30 25901-340

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.
PCT/EP2005/051241

Box No. I Basis of the report

1. With regard to the **language**, this report is based on

   ☒ the international application in the language in which it was filed

   ☐ a translation of the international application into , which is the language of a translation furnished for the purposes of:
   - ☐ international search (under Rules 12.3(a) and 23.1(b))
   - ☐ publication of the international application (under Rule 12.4(a))
   - ☐ international preliminary examination (under Rules 55.2(a) and/or 55.3(a))

2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

   Description, Pages

   1-73 as originally filed

   Claims, Numbers

   1-12 filed with telefax on 09.11.2005

   ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3. ☐ The amendments have resulted in the cancellation of:
   - ☐ the description, pages
   - ☐ the claims, Nos.
   - ☐ the drawings, sheets/figs
   - ☐ the sequence listing *(specify)*:
   - ☐ any table(s) related to sequence listing *(specify)*:

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
   - ☐ the description, pages
   - ☐ the claims, Nos.
   - ☐ the drawings, sheets/figs
   - ☐ the sequence listing *(specify)*:
   - ☐ any table(s) related to sequence listing *(specify)*:

* *If item 4 applies, some or all of these sheets may be marked "superseded."*

Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

☐ the entire international application,

☒ claims Nos. 12 (with regard to industrial applicability)

because:

☐ the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination *(specify)*:

☐ the description, claims or drawings *(indicate particular elements below)* or said claims Nos. are so unclear that no meaningful opinion could be formed *(specify)*:

☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed *(specify)*.

☒ no international search report has been established for the said claims Nos. 12 (with regard to industrial applicability)

☐ a meaningful opinion could not be formed without the sequence listing; the applicant did not, within the prescribed time limit:

- ☐ furnish a sequence listing on paper complying with the standard provided for in Annex C of the Administrative Instructions, and such listing was not available to the International Preliminary Examining Authority in a form and manner acceptable to it.
- ☐ furnish a sequence listing in electronic form complying with the standard provided for in Annex C of the Administrative Instructions, and such listing was not available to the International Preliminary Examining Authority in a form and manner acceptable to it.
- ☐ pay the required late furnishing fee for the furnishing of a sequence listing in response to an invitation under Rules 13*ter*.1(a) or (b) and 13*ter*.2.

☐ a meaningful opinion could not be formed without the tables related to the sequence listings; the applicant did not, within the prescribed time limit, furnish such tables in electronic form complying with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions, and such tables were not available to the International Preliminary Examining Authority in a form and manner acceptable to it.

☐ the tables related to the nucleotide and/or amino acid sequence listing, if in electronic form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.

☒ See separate sheet for further details

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-6, 8-12
	No:	Claims	
Inventive step (IS)	Yes:	Claims	10-12
	No:	Claims	1-9
Industrial applicability (IA)	Yes:	Claims	1-11
	No:	Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claim 12 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no international preliminary examination will be carried out with respect to the industrial applicability of the subject-matter of this claim (Article 34(4)(a)(I) PCT).

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

V.1 Cited documents

D1: WO 03/050073 A (ELAN PHARMACEUTICALS, INC; PHARMACIA & UPJOHN COMPANY; TENBRINK, RUTH;) 19 June 2003 (2003-06-19)

D2: WO 02/40007 A (NOVARTIS AG; NOVARTIS-ERFINDUNGEN VERWALTUNGSGESELLSCHAFT M.B.H; HEWIT) 23 May 2002 (2002-05-23)

D3: EP-A-0 678 503 (NOVARTIS-ERFINDUNGEN VERWALTUNGSGESELLSCHAFT M.B.H; NOVARTIS AG) 25 October 1995 (1995-10-25)

D4: WOOD J M ET AL: "Structure-based design of aliskiren, a novel orally effective renin inhibitor" BIOCHEMICAL AND BIOPHYSICAL RESEARCH COMMUNICATIONS, ACADEMIC PRESS INC. ORLANDO, FL, US, vol. 308, no. 4, 5 September 2003 (2003-09-05), pages 698-705, XP004447169 ISSN: 0006-291X

The indicated designations will be used throughout the examination procedure.

V.2 Novelty

V.2.1 The applicant has amended claim 1 such that it does no longer include the

definition that R^6 is a "polycyclic, unsaturated hydrocarbon radical". This part had been considered to overlap with the subject-matter of D1, claims 3 and 4, where the corresponding moiety A can also be an optionally substituted naphthyl (see D1, pages 281 and 282). However, the part deleted from the definition of R^6 has now become the object of a newly introduced claim 7 (former claims 7 to 11 have been renumbered to claims 8 to 12). It seems that the remaining definitions of the substituents R^1, R^2, R^3, R^4 and R^5 remained the same so that the overlapping subject-matter has not been deleted, but has only been transferred to the new claim 7. It is thus noted that a novelty-destroying overlapping portion is still present in the claims, namely the subject-matter of claim 7 overlaps with the subject-matter of D1, claims 3 and 4. Consequently, the subject-matter of claim 7 now on file cannot be considered novel.

V.2.2 The subject-matter disclosed in D2 to D4 is not novelty-destroying since the compounds of D2 to D4 do not have the group NR^1R^2 in the very position as in the present compounds.

V.3 Inventive step

V.3.1 According to the description, the problem underlying the present application is to provide further compounds having renin-inhibitory activity and are therefore useful in the treatment of e.g hypertension, glaucoma and cognitive discorders.

V.3.2 Concerning the pharmacological activity profile (the "mode of action"), D2 to D4 are to be considered as closest prior art. However, as to the chemical structure, D1, example 8 is considered as closest prior art since this compound differs from the present ones only in that the R^6-corresponding moiety is **unsubstituted** phenyl, which is not included in the list of definitions given for R^6 in the application. Moreover, the compounds of D1 are also said to be useful in the treatment of **cognitive disorders** (see e.g. D1, claim 50). The R^6-corresponding moiety in D1 is A. This moiety A can be aryl, cycloalkyl, heteroaryl so that it is clear from D1 that this feature can be varied without loss of activity. In other words, the skilled person learns from D1 that the kind of the moiety A (in D1), which corresponds to R^6 in the application, is not critical in view of the pharmacological activity. It is thus noted that with regard to the activity against cognitive disorders the compounds of the application are structurally obvious against the generic and specific

disclosure of D1. With this teaching of D1 and with the knowledge of example 8 of the same document the skilled person arrives at the present subject-matter, i.e. compounds like example 8 of D1 where the phenyl moiety has been replaced or modified, in an obvious way.

V.3.3 It could be argued that the present compounds are said to be useful in the treatment of a broader scope of medical conditions than what is said for the D1 compounds (the D1 compounds are foreseen for the treatment of Alzheimer's and related diseases only). However, it is not credible that the *mode of action* of the D1 compounds is different from the present ones because it has not been made clear which very (unique) structural difference (that must be a feature of **all** claimed compounds) causes such possible activity difference.

V.3.4 Therefore it follows that the present subject-matter of claim 1 and of the pharmaceutical claims 8 and 9 is an obvious result from the teaching of D1, with regard to the structure **and** with regard to pharmaceutical activity, if the activity against cognitive disorders is considered. Inventive step cannot be thus acknowledged for the subject-matter of claims 1, 8 and 9.

V.3.5 Claims 2 to 7 (for claim 7, see also the paragraph "Novelty" above) do not bring additional technical features which could be considered as basis for the acknowledgement of an inventive step either. Therefore the subject-matter of claims 2 to 7 is considered not to be inventive either.

V.4 Industrial applicability

V.4.1 The subject-matter of claims 1-11 is industrially applicable.

V.4.2 For the assessment of the present claim 12 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the

manufacture of a medicament for a new medical treatment.

Re Item VIII
Certain observations on the international application

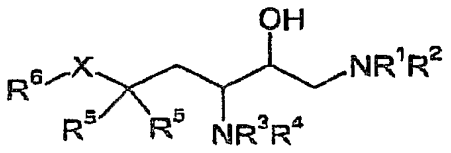
VIII.1 In the claims, for the sake of clarity, the terms "aryl", "heterocyclyl", "polycyclic, unsaturated hydrocarbon radical" should have been properly defined according to the description.

VIII.2 In claims 1 and 7, the term "prodrug" occurs, which is defined as to "release a compound of formula (I) by a chemical or physiological process". This amended definition of "prodrug" is not clear either, because it defines "prodrug" in terms of the result to be achieved and it must therefore be considered as a desideratum.

VIII.3 It is not clear why the claims now contain two independent compound claims (claims 1 and 7), both referring to formula (I), but having different definitions with regard to R^6 (it has been evaluated in point V.2.1 above that by the introduction of present claim 7 the overlap against D1 is still present).

Claims

1. Compound of the formula



(I)

where

X is methylene or hydroxymethylene;

R^1 a) is hydrogen; or

b) is C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_1-C_8-alkanoyl, C_1-C_8-alkoxycarbonyl, aryl-C_0-C_4-alkyl or heterocyclyl-C_0-C_4-alkyl, which radicals may be substituted by 1-4 C_1-C_8-alkyl, halogen, cyano, oxide, oxo, trifluoromethyl, C_1-C_8-alkoxy, C_1-C_8-alkoxycarbonyl, aryl or heterocyclyl;

R^2 a) is C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_1-C_8-alkylsulphonyl, C_3-C_8-cycloalkylsulphonyl, aryl-C_0-C_8-alkylsulphonyl, heterocyclylsulphonyl, C_3-C_{12}-cycloalkyl-C_1-C_8-alkanoyl, C_3-C_{12}-cycloalkyl-C_3-C_8-cycloalkanoyl, aryl-C_1-C_8-alkanoyl, heterocyclyl-C_1-C_8-alkanoyl, aryl-C_3-C_8-cycloalkanoyl, C_1-C_8-alkanoyl, C_1-C_8-alkoxycarbonyl, optionally N-mono or N,N-di-C_1-C_8-alkylated carbamoyl-C_0-C_8-alkyl, aryl-C_0-C_4-alkyl or heterocyclyl-C_0-C_4-alkyl, which radicals may be substituted by 1-4 C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkoxy, amino, C_{1-6}-alkylamino, di-C_{1-6}-alkylamino, C_0-C_8-alkylcarbonylamino, halogen, cyano, hydroxyl, oxide, oxo, trifluoromethyl, C_1-C_8-alkoxy, optionally N-mono or N,N-di-C_1-C_8-alkylated carbamoyl, C_1-C_8-alkoxycarbonyl, C_{1-6}-alkylenedioxy, aryl or heterocyclyl; or

b) together with R_1 and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated 4-8-membered heterocyclic ring which may contain an additional nitrogen, oxygen or sulphur atom or an –SO- or –SO2- group, and the additional nitrogen atom may optionally be substituted by C_1-C_8-alkyl, C_1-C_8-alkanoyl, C_1-C_8-alkoxycarbonyl, aryl or heterocyclyl radicals, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members and the second ring may also contain a nitrogen, oxygen or sulphur atom or an –SO- or –SO2- group, and the nitrogen atom of the second ring may optionally be substituted by C_1-C_8-alkyl, C_1-C_8-alkanoyl, C_1-C_8-alkoxycarbonyl, aryl or heterocyclyl radicals,

and all ring systems mentioned may be substituted by 1-4 C_1-C_8-alkyl, halogen, hydroxyl, oxide, oxo, trifluoromethyl, C_1-C_8-alkoxy, C_1-C_8-alkoxy-C_1-C_8-alkyl, C_1-C_8-alkoxy-C_1-C_8-alkoxy, C_1-C_8-alkoxycarbonylamino, C_1-C_8-alkylcarbonylamino, C_1-C_8-alkylamino, N,N-di-C_1-C_8-alkylamino, aryl-C_0-C_4-alkyl, aryloxy-C_0-C_4-alkyl, aryl-C_0-C_4-alkyl-C_1-C_8-alkoxy, aryloxy-C_0-C_4-alkyl-C_1-C_8-alkoxy, heterocyclyl-C_0-C_4-alkyl, heterocyclyloxy-C_0-C_4-alkyl, heterocyclyl-C_0-C_4-alkyl-C_1-C_8-alkoxy or heterocyclyloxy-C_0-C_4-alkyl-C_1-C_8-alkoxy;
R^3 is hydrogen, C_1-C_4-alkyl, C_1-C_8-alkoxycarbonyl or C_1-C_8-alkanoyl;
R^4 is hydrogen, C_1-C_4-alkyl, C_1-C_8-alkoxycarbonyl or C_1-C_8-alkanoyl;

R^5 are each independently hydrogen, C_1-C_8-alkyl or, together with the carbon atom to which they are bonded, are a C_3-C_8-cycloalkylidene radical;

(A) R^6 is a heterocyclyl radical which is substituted by from one to four radicals selected from C_1-C_8-alkyl, C_{3-8}-cycloalkyl, C_{3-8}-cycloalkoxy, C_{3-8}-cycloalkoxy-C_{1-6}-alkyl, C_{3-8}-cycloalkoxy-C_{1-6}-alkoxy, C_1-C_6-alkylamino, di-C_1-C_8-alkylamino, amino-C_{1-6}-alkyl, amino-C_{2-7}-alkoxy, polyhalo-C_{1-6}-alkyl, polyhalo-C_{2-7}-alkoxy, nitro, amino, C_2-C_6-alkenyl, C_1-C_8-alkoxy, C_1-C_6-alkanoyloxy, hydroxyl, halogen, oxide, oxo, cyano, carbamoyl, carboxy, C_1-C_6-alkylenedioxy, phenyl, phenoxy, phenylthio, phenyl-C_1-C_6-alkyl or phenyl-C_1-C_6-alkoxy, each of which are optionally substituted by halogen, C_1-C_6-alkyl, C_{1-6}-alkoxy, hydroxyl, C_1-C_8-alkylamino, di-C_1-C_8-alkylamino, C_{1-6}-alkoxycarbonyl, hydroxy-C_{1-6}-alkyl or trifluoromethyl, pyridylcarbonylamino-C_{1-6}-alkyl, C_{2-7}-alkenyloxy, C_{1-6}-alkoxy-C_{1-6}-alkoxy, C_{1-6}-alkoxy-C_{1-6}-alkoxy-C_{1-6}-alkyl, methoxybenzyloxy, hydroxybenzyloxy, methylenedioxybenzyloxy, dioxolanyl-C_{1-6}-alkoxy, C_{3-8}-cycloalkyl-C_{1-6}-alkyl, C_{3-8}-cycloalkyl-C_{1-6}-alkoxy, hydroxy-C_{2-7}-alkoxy, carbamoyloxy-C_{2-7}-alkoxy, pyridylcarbamoyloxy-C_{2-7}-alkoxy, benzoyloxy-C_{2-7}-alkoxy, C_{1-6}-alkoxycarbonyl, C_{1-6}-alkylcarbonylamino, C_{1-6}-alkylcarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkylcarbonylamino-C_{2-7}-alkoxy, (N-C_{1-6}-alkyl)-C_{1-6}-alkylcarbonylamino-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkylcarbonylamino-C_{2-7}-alkoxy, C_{3-8}-cycloalkylcarbonylamino-C_{1-6}-alkyl, C_{3-8}-cycloalkylcarbonylamino-C_{2-7}-alkoxy, C_{1-6}-alkoxy-C_{1-6}-alkyl, hydroxy-C_{1-6}-alkyl, hydroxy-C_{2-7}-alkoxy-C_{1-6}-alkyl, hydroxy-C_{2-7}-alkoxy-C_{1-6}-alkoxy, C_{1-6}-alkoxycarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkoxycarbonylamino-C_{2-7}-alkoxy, C_{1-6}-alkylaminocarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkylaminocarbonylamino-C_{2-7}-alkoxy, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy-C_{1-6}-alkyl, di-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, di-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylcarbonyloxy-C_{1-6}-alkyl, C_{1-6}-alkylcarbonyloxy-C_{2-6}-alkoxy, cyano-C_{1-6}-alkyl, cyano-

C_{1-6}-alkoxy, 2-oxooxazolidinyl-C_{1-6}-alkyl, 2-oxo-oxazolidinyl-C_{1-6}-alkoxy, C_{1-5}-alkoxycarbonyl-C_{1-6}-alkyl, C_{1-6}-alkoxycarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylsulphonylamino-C_{1-6}-alkyl, C_{1-6}-alkylsulphonylamino-C_{2-7}-alkoxy, (N-C_{1-6}-alkyl)-C_{1-6}-alkylsulphonylamino-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkylsulphonylamino-C_{2-7}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{2-7}-alkoxy, di-C_{1-6}-alkylamino-C_{1-6}-alkyl, di-C_{1-6}-alkylamino-C_{2-7}-alkoxy, C_{1-6}-alkylsulphonyl-C_{1-6}-alkyl, C_{1-6}-alkylsulphonyl-C_{1-6}-alkoxy, carboxy-C_{1-6}-alkyl, carboxy-C_{1-6}-alkoxy, carboxy-C_{1-6}-alkoxy-C_{1-6}-alkyl, C_{1-6}-alkoxy-C_{1-6}-alkylcarbonyl, acyl-C_{1-6}-alkoxy-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxycarbonylamino, (N-hydroxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, (N-hydroxy)-C_{1-5}-alkylaminocarbonyl-C_{1-6}-alkoxy, (N-hydroxy)aminocarbonyl-C_{1-6}-alkyl, (N-hydroxy)aminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkoxy-aminocarbonyl-C_{1-6}-alkyl, 6-alkoxyaminocarbonyl-C_{1-5}-alkoxy, (N-C_{1-6}-alkoxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, (N-C_{1-5}-alkoxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, (N-acyl)-C_{1-6}-alkoxy-C_{1-6}-alkylamino, C_{1-6}-alkoxy-C_{1-6}-alkylcarbamoyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxy-C_{1-6}-alkylcarbamoyl, C_{1-6}-alkoxy-C_{1-6}-alkylcarbonyl, C_{1-6}-alkoxy-C_{1-6}-alkylcarbonylamino, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxy-C_{1-6}-alkylcarbonylamino, 1-C_{1-6}-alkoxy-C_{1-6}-alkylimidazol-2-yl, 1-C_{1-5}-alkoxy-C_{1-6}-alkyltetrazol-5-yl, 5-C_{1-6}-alkoxy-C_{1-6}-alkyltetrazol-1-yl, 2-C_{1-6}-alkoxy-C_{1-6}-alkyl-4-oxoimidazol-1-yl, carbamoyl-C_{1-6}-alkyl, carbamoyl-C_{1-6}-alkoxy, C_{1-6}-alkylcarbamoyl, di-C_{1-5}-alkylcarbamoyl, C_{1-6}-alkylsulphonyl, C_{1-6}-alkylamidinyl, acetamidinyl-C_{1-6}-alkyl, O-methyloximyl-C_{1-6}-alkyl, O,N-dimethylhydroxylamino-C_{1-6}-alkyl, C_{3-6}-cycloalkyl-C_{1-6}-alkanoyl, aryl-C_{1-6}-alkanoyl or heterocyclyl-C_{1-6}-alkanoyl, or else pyridyl, pyridyloxy, pyridylthio, pyridylamino, pyridyl-C_{1-6}-alkyl, pyridyl-C_{1-6}-alkoxy, pyrimidinyl, pyrimidinyloxy, pyrimidinylthio, pyrimidinylamino, pyrimidinyl-C_{1-6}-alkyl, pyrimidinyl-C_{1-6}-alkoxy, thienyl, thienyl-C_{1-6}-alkyl, thienyl-C_{1-6}-alkoxy, furyl, furyl-C_{1-6}-alkyl or furyl-C_{1-6}-alkoxy, each of which is optionally substituted by halogen, C_{1-6}-alkyl, C_{1-6}-alkoxy or dihydroxy-C_{1-6}-alkylaminocarbonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1-ylalkoxy, [1,2,4]-triazol-4-ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]-oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3-methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3-methyl-[1,2,4]-oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]-oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3-ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1-ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5-methyl-tetrazol-1-ylalkyl, 5-methyl-tetrazol-1-ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxo-pyrrolidinylalkyl, 2-oxo-pyrrolidinylalkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methyl-

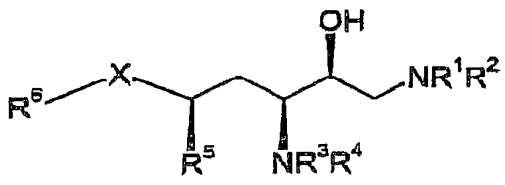
imidazolylalkyl, 2-methyl-imidazolylalkoxy, N-methylpiperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3-acetamidomethylpyrrolidinyl, 3-C_{1-6}-alkoxy-C_{1-6}-alkyl-pyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxo-imidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl, 2-oxo-tetrahydropyrimidinyl and the $-O-CH_2CH(OH)CH_2NR_x$ radical where NR_x is a mono- or di-C_{1-6}-alkylamino, piperidino, morpholino, piperazino or N-methylpiperazino radical; or

(B) R^6 is phenyl substituted by C_1-C_6-alkylenedioxy, furyl, thienyl, pyridyl, pyrimidyl, indolyl, quinolinyl, pyrazinyl, triazolyl, imidazolyl, benzothiazolyl, pyranyl, tetrahydropyranyl, azetidinyl, morpholinyl, tetrahydroquinolyl, tetrahydroisoquinolyl, quinazolinyl, quinoxalinyl, isoquinolyl, benzo[b]thienyl, isobenzofuranyl, benzoimidazolyl, 2-oxobenzoimidazolyl, oxazolyl, thiazolyl, pyrrolyl, pyrazolyl, triazinyl, dihydrobenzofuranyl, 2-oxodihydrobenzo [d][1,3]oxazinyl, 4-oxodihydroimidazolyl, 5-oxo-4H[1,2,4]triazinyl, 3-oxo-4H-benzo [1,4]thiazinyl, tetrahydroquinoxalinyl, 1,1,3-trioxodihydro-2H-1λ^6-benzo[1,4]thiazinyl, 1-oxopyridyl, dihydro-3H-benzo[1.4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 2-oxotetrahydrobenzo[e][1,4]diazepinyl, 2-oxodihydrobenzo[e][1,4]diazepinyl, 1H-pyrrolizinyl, phthalazinyl, 1-oxo-3H-isobenzofuranyl, 4-oxo-3H-thieno[2,3-d] pyrimidinyl, 3-oxo-4H-benzo[1,4]oxazinyl, [1,5]naphthyridyl, dihydro-2H-benzo [1,4]thiazinyl, 1,1-dioxodihydro-2H-benzo[1,4]thiazinyl, 2-oxo-1H-pyrido[2,3-b] [1,4]oxazinyl, dihydro-1H-pyrido[2,3-b][1,4]oxazinyl, 1H-pyrrolo[2,3-b]pyridyl, benzo [1,3]dioxolyl, benzooxazolyl, 2-oxobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl, benzofuranyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothio-morpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, tetrahydropyranyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopiperidinyl, 2-oxopyrrolidinyl, 2-oxo[1,3]oxazinyl, 2-oxoazepanyl, or 2-oxotetrahydropyrimidinyl;

or a prodrug thereof, which, on *in vivo* application, release a compound of formula (I) by a

chemical or physiological process,
or in which one or more atoms have been replaced by their stable, non-radioactive isotopes, or a salt thereof.

2. Compound according to Claim 1, characterized in that it corresponds to the formula (Ia)



(Ia)

where the substituents are each as defined in Claim 1.

3. Compound according to Claim 1 or 2, in which
R^2 is C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_1-C_8-alkylsulphonyl, C_3-C_8-cycloalkylsulphonyl, aryl-C_0-C_8-alkylsulphonyl, C_3-C_{12}-cycloalkyl-C_1-C_8-alkanoyl, C_3-C_{12}-cycloalkyl-C_3-C_8-cycloalkanoyl, aryl-C_1-C_8-alkanoyl, heterocyclyl-C_1-C_8-alkanoyl, C_1-C_8-alkanoyl or aryl-C_0-C_4-alkyl, which radicals may be substituted by 1-4 C_1-C_6-alkyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkoxy, C_0-C_6-alkylcarbonylamino, halogen, cyano, hydroxyl, oxide, trifluoromethyl, C_1-C_8-alkoxy or optionally N-mono- or N,N-di-C_1-C_8-alkylated carbamoyl.

4. Compound according to Claim 1 or 2, in which
R^1 a) is hydrogen; or
b) is C_1-C_8-alkyl or C_3-C_8-cycloalkyl;
R^2 a) is C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_1-C_8-alkanoyl, heterocyclyl-C_1-C_8-alkanoyl, C_3-C_{12}-cycloalkyl-C_1-C_8-alkanoyl or aryl-C_1-C_8-alkanoyl, which radicals may be substituted by 1-4 C_1-C_8-alkyl, C_{1-6}-alkylamino, cyano, halogen, hydroxyl, C_1-C_8-alkanoylamino, C_1-C_8-alkoxy, oxide, oxo, trifluoromethyl or aryl; or
b) together with R^1 and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated, 4-8-membered heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C_1-C_8-alkyl or C_1-C_8-alkanoyl, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 ring members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C_1-C_8-alkyl or C_1-C_8-alkanoyl, and all ring systems mentioned may be

substituted by 1-4 C_1-C_8-alkyl, hydroxyl, oxide, oxo, C_1-C_8-alkoxy, C_1-C_8-alkoxy-C_1-C_8-alkoxy, C_1-C_8-alkanoylamino or aryloxy-C_0-C_4-alkyl-C_1-C_8-alkoxy.

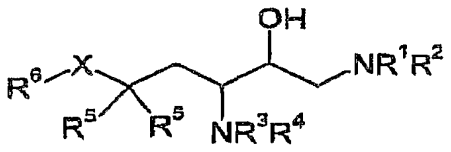
5. Compound according to Claim 1 or 2, in which
X is methylene;
R^1 a) is hydrogen; or
b) is C_1-C_8-alkyl or C_3-C_8-cycloalkyl;
R^2 a) is C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_1-C_8-alkanoyl, heterocyclyl-C_1-C_8-alkanoyl, C_3-C_{12}-cycloalkyl-C_1-C_8-alkanoyl or aryl-C_1-C_8-alkanoyl, which radicals may be substituted by 1-4 C_1-C_8-alkyl, C_{1-8}-alkylamino, cyano, halogen, hydroxyl, C_1-C_8-alkanoylamino, C_1-C_8-alkoxy, oxide, oxo, trifluoromethyl or aryl; or
b) together with R^1 and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated, 4-8-membered heterocyclic ring which may contain an additional nitrogen or oxygen atom, in which case the additional nitrogen atom may optionally be substituted by C_1-C_8-alkyl or C_1-C_8-alkanoyl, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 ring members and the second ring may also contain a nitrogen or oxygen atom, and the nitrogen atom of the second ring may optionally be substituted by C_1-C_8-alkyl or C_1-C_8-alkanoyl, and all ring systems mentioned may be substituted by 1-4 C_1-C_8-alkyl, hydroxyl, oxide, oxo, C_1-C_8-alkoxy, C_1-C_8-alkoxy-C_1-C_8-alkoxy, C_1-C_8-alkanoylamino or aryloxy-C_0-C_4-alkyl-C_1-C_8-alkoxy;
R^3 is hydrogen;
R^4 is hydrogen;
R^5 are each independently hydrogen or C_1-C_8-alkyl; and
R^6 is as defined in Claim 1.

6. Compound according to one of Claims 1 to 5, in which the R^6 radical is selected from the group consisting of furyl, thienyl, pyridyl, pyrimidyl, indolyl, quinolinyl, benzoimidazolyl, di-C_{1-6}-alkoxypyrimidinyl, 2- and 5-benzo[b]thienyl, 6- and 7-isoquinolyl, 6- and 7-tetrahydroquinolyl, 6- and 7-tetrahydroisoquinolyl, 6-quinoxalinyl, 6- and 7-quinazolinyl, dihydro-3H-benzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 3-oxo-4H-benzo[1,4]oxazinyl, 2-oxobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl or benzofuranyl; and
6- and 7-quinolyl, 6- and 7-isoquinolyl, 6- and 7-tetrahydroquinolyl, oxotetrahydroquinolyl, 6- and 7-tetrahydroisoquinolyl, 6-quinoxalinyl, 6- and 7-quinazolinyl, indolyl, dihydro-3H-

benzo[1,4]oxazinyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, 3-oxo-3,4-dihydro-2H-benzo[1,4]oxazinyl, 3-oxo-4H-benzo[1,4]oxazinyl, 2-oxobenzooxazolyl, 2-oxo-2,3-dihydrobenzooxazolyl, 2-oxo-1,3-dihydroindolyl, 2,3-dihydroindolyl, indazolyl, benzofuranyl, 2,3-dihydrobenzothiazinyl, imidazolyl, benzoimidazolyl, pyridinyl, pyrrolo[2,3-b]pyridinyl, pyrrolo[3,2-c]pyridinyl, pyrrolo[2,3-c]pyridinyl, pyrrolo[3,2-b]pyridinyl, [1,2,3]triazolo[1,5-a]pyridinyl, [1,2,4]triazolo[4,3-a]pyridinyl, imidazo[1,2-a]pyrimidinyl or imidazo[1,5-a]pyridinyl, each of which is substituted by from one to four radicals selected from C_{1-6}-alkyl, cyano, oxo, oxide, trifluoromethyl, hydroxyl, halogen, carbamoyl, carboxy, C_{1-6}-alkoxy, hydroxy-C_{2-7}-alkoxy, C_{1-6}-alkoxy-C_{1-6}-alkoxy, di-C_{1-6}-alkylamino, 2,3-dihydroxypropoxy, 2,3-dihydroxypropoxy-C_{1-6}-alkoxy, 2,3-dimethoxypropoxy, methoxybenzyloxy, hydroxybenzyloxy, phenethyloxy, methylenedioxybenzyloxy, dioxolanyl-C_{1-6}-alkoxy, cyclopropyl-C_{1-6}-alkoxy, pyridylcarbamoyloxy-C_{1-6}-alkoxy, 3-morpholino-2-hydroxypropoxy, benzyloxy-C_{1-6}-alkoxy, picolyloxy, C_{1-6}-alkoxycarbonyl, C_{1-6}-alkoxy-C_{1-6}-alkoxy-C_{1-6}-alkyl, C_{1-6}-alkylcarbonylamino, C_{1-6}-alkylcarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkylcarbonylamino-C_{1-6}-alkoxy, (N-C_{1-6}-alkyl)-C_{1-6}-alkylcarbonylamino-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkylcarbonylamino-C_{1-6}-alkoxy, C_{3-6}-cycloalkylcarbonylamino-C_{1-6}-alkyl, C_{3-6}-cycloalkylcarbonylamino-C_{1-6}-alkoxy, C_{1-6}-alkoxy-C_{1-6}-alkyl, hydroxy-C_{1-6}-alkyl, hydroxy-C_{2-7}-alkoxy-C_{1-6}-alkyl, hydroxy-C_{2-7}-alkoxy-C_{1-6}-alkoxy, C_{1-6}-alkoxycarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkoxycarbonylamino-C_{2-7}-alkoxy, C_{1-6}-alkylaminocarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkylaminocarbonylamino-C_{2-7}-alkoxy, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy-C_{1-6}-alkyl, di-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, di-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylcarbonyloxy-C_{1-6}-alkyl, C_{1-6}-alkylcarbonyloxy-C_{1-6}-alkoxy, cyano-C_{1-6}-alkyl, cyano-C_{1-6}-alkoxy, 2-oxooxazolidinyl-C_{1-6}-alkyl, 2-oxooxazolidinyl-C_{1-6}-alkoxy, C_{1-6}-alkoxycarbonyl-C_{1-6}-alkyl, C_{1-6}-alkoxycarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylsulphonylamino-C_{1-6}-alkyl, C_{1-6}-alkylsulphonylamino-C_{2-7}-alkoxy, (N-C_{1-6}-alkyl)-C_{1-6}-alkylsulphonylamino-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkylsulphonylamino-C_{1-6}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{2-7}-alkoxy, di-C_{1-6}-alkylamino-C_{1-6}-alkyl, Di-C_{1-6}-alkylamino-C_{2-7}-alkoxy, C_{1-6}-alkylsulphonyl-C_{1-6}-alkyl, C_{1-6}-alkylsulphonyl-C_{1-6}-alkoxy, carboxy-C_{1-6}-alkyl, carboxy-C_{1-6}-alkoxy, carboxy-C_{1-6}-alkoxy-C_{1-6}-alkyl, C_{1-6}-alkoxy-C_{1-6}-alkylcarbonyl, acyl-C_{1-6}-alkoxy-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxy-carbonylamino, (N-hydroxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, (N-hydroxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, (N-hydroxy)aminocarbonyl-C_{1-6}-alkyl, (N-hydroxy)aminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkoxyaminocarbonyl-C_{1-6}-alkyl, 6-alkoxy-aminocarbonyl-C_{1-6}-alkoxy, (N-C_{1-6}-alkoxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, (N-C_{1-6}-alkoxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, (N-acyl)-C_{1-6}-alkoxy-C_{1-6}-alkylamino, C_{1-6}-alkoxy-C_{1-6}-alkylcarbamoyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxy-C_{1-6}-alkylcarbamoyl, C_{1-6}-alkoxy-C_{1-6}-

alkylcarbonyl, C_{1-6}-alkoxy-C_{1-6}-alkylcarbonylamino, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxy-C_{1-6}-alkylcarbonylamino, 1-C_{1-6}-alkoxy-C_{1-6}-alkylimidazol-2-yl, 1-C_{1-6}-alkoxy-C_{1-6}-alkyltetrazol-5-yl, 5-C_{1-6}-alkoxy-C_{1-6}-alkyltetrazol-1-yl, 2-C_{1-6}-alkoxy-C_{1-6}-alkyl-4-oxoimidazol-1-yl, carbamoyl-C_{1-6}-alkyl, carbamoyl-C_{1-6}-alkoxy, C_{1-6}-alkylcarbamoyl, di-C_{1-6}-alkylcarbamoyl, C_{1-6}-alkylsulphonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1-ylalkoxy, [1,2,4]-triazol-4-ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]-oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3-methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3-methyl-[1,2,4]-oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]-oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3-ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1-ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5-methyltetrazol-1-ylalkyl, 5-methyltetrazol-1-ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxopyrrolidinylalkyl, 2-oxopyrrolidinylalkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methylimidazolylalkyl, 2-methylimidazolylalkoxy, N-methylpiperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3-acetamidomethylpyrrolidinyl, 3-C_{1-6}-alkoxy-C_{1-6}-alkyl-pyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxoimidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl and 2-oxotetrahydropyrimidinyl

7. Compound of the formula



(I)

where

X is methylene or hydroxymethylene;

R^1 a) is hydrogen; or

b) is C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_1-C_8-alkanoyl, C_1-C_8-alkoxycarbonyl, aryl-C_0-C_4-alkyl or heterocyclyl-C_0-C_4-alkyl, which radicals may be substituted by 1-4 C_1-C_8-alkyl, halogen, cyano, oxide, oxo, trifluoromethyl, C_1-C_8-alkoxy, C_1-C_8-alkoxycarbonyl, aryl or heterocyclyl;

R^2 a) is C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_1-C_8-alkylsulphonyl, C_3-C_8-cycloalkylsulphonyl, aryl-C_0-C_8-alkylsulphonyl, heterocyclylsulphonyl, C_3-C_{12}-cycloalkyl-C_1-C_8-alkanoyl, C_3-C_{12}-cycloalkyl-C_3-C_8-cycloalkanoyl, aryl-C_1-C_8-alkanoyl, heterocyclyl-C_1-C_8-alkanoyl, aryl-C_3-C_8-cycloalkanoyl, C_1-C_8-alkanoyl, C_1-C_8-alkoxycarbonyl, optionally N-mono or N,N-di-C_1-C_8-alkylated carbamoyl-C_0-C_8-alkyl, aryl-C_0-C_4-alkyl or heterocyclyl-C_0-C_4-alkyl, which radicals may be substituted by 1-4 C_1-C_8-alkyl, C_3-C_8-cycloalkyl, C_3-C_8-cycloalkoxy, amino, C_{1-6}-alkylamino, di-C_{1-6}-alkylamino, C_0-C_8-alkylcarbonylamino, halogen, cyano, hydroxyl, oxide, oxo, trifluoromethyl, C_1-C_8-alkoxy, optionally N-mono or N,N-di-C_1-C_8-alkylated carbamoyl, C_1-C_8-alkoxycarbonyl, C_{1-6}-alkylenedioxy, aryl or heterocyclyl; or

b) together with R_1 and the nitrogen atom to which they are bonded, is a saturated or partly unsaturated 4-8-membered heterocyclic ring which may contain an additional nitrogen, oxygen or sulphur atom or an –SO- or –SO2- group, and the additional nitrogen atom may optionally be substituted by C_1-C_8-alkyl, C_1-C_8-alkanoyl, C_1-C_8-alkoxycarbonyl, aryl or heterocyclyl radicals, in which case this heterocyclic ring may be part of a bicyclic or tricyclic ring system having a total of up to 16 members and the second ring may also contain a nitrogen, oxygen or sulphur atom or an –SO- or –SO2- group, and the nitrogen atom of the second ring may optionally be substituted by C_1-C_8-alkyl, C_1-C_8-alkanoyl, C_1-C_8-alkoxycarbonyl, aryl or heterocyclyl radicals, and all ring systems mentioned may be substituted by 1-4 C_1-C_8-alkyl, halogen, hydroxyl, oxide, oxo, trifluoromethyl, C_1-C_8-alkoxy, C_1-C_8-alkoxy-C_1-C_8-alkyl, C_1-C_8-alkoxy-C_1-C_8-alkoxy, C_1-C_8-alkoxycarbonylamino, C_1-C_8-alkylcarbonylamino, C_1-C_8-alkylamino, N,N-di-C_1-C_8-alkylamino, aryl-C_0-C_4-alkyl, aryloxy-C_0-C_4-alkyl, aryl-C_0-C_4-alkyl-C_1-C_8-alkoxy, aryloxy-C_0-C_4-alkyl-C_1-C_8-alkoxy, heterocyclyl-C_0-C_4-alkyl, heterocyclyloxy-C_0-C_4-alkyl, heterocyclyl-C_0-C_4-alkyl-C_1-C_8-alkoxy or heterocyclyloxy-C_0-C_4-alkyl-C_1-C_8-alkoxy;

R^3 is hydrogen, C_1-C_4-alkyl, C_1-C_8-alkoxycarbonyl or C_1-C_8-alkanoyl;

R^4 is hydrogen, C_1-C_4-alkyl, C_1-C_8-alkoxycarbonyl or C_1-C_8-alkanoyl;

R^5 are each independently hydrogen, C_1-C_8-alkyl or, together with the carbon atom to which they are bonded, are a C_3-C_8-cycloalkylidene radical;

R^6 is an unsubstituted polycyclic, unsaturated hydrocarbon radical or a polycyclic, unsaturated hydrocarbon radical which is substituted by from one to four radicals selected from C_1-

C_6-alkyl, C_{3-8}-cycloalkyl, C_{3-8}-cycloalkoxy, C_{3-8}-cycloalkoxy-C_{1-8}-alkyl, C_{3-8}-cycloalkoxy-C_{1-6}-alkoxy, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, amino-C_{1-6}-alkyl, amino-C_{2-7}-alkoxy, polyhalo-C_{1-8}-alkyl, polyhalo-C_{2-7}-alkoxy, nitro, amino, C_2-C_8-alkenyl, C_1-C_8-alkoxy, C_1-C_8-alkanoyloxy, hydroxyl, halogen, oxide, oxo, cyano, carbamoyl, carboxy, C_1-C_6-alkylenedioxy, phenyl, phenoxy, phenylthio, phenyl-C_1-C_8-alkyl or phenyl-C_1-C_8-alkoxy, each of which are optionally substituted by halogen, C_1-C_8-alkyl, C_{1-6}-alkoxy, hydroxyl, C_1-C_8-alkylamino, di-C_1-C_6-alkylamino, C_{1-6}-alkoxycarbonyl, hydroxy-C_{1-6}-alkyl or trifluoromethyl, pyridylcarbonylamino-C_{1-6}-alkyl, C_{2-7}-alkenyloxy, C_{1-6}-alkoxy-C_{1-6}-alkoxy, C_{1-6}-alkoxy-C_{1-6}-alkoxy-C_{1-6}-alkyl, methoxybenzyloxy, hydroxybenzyloxy, methylenedioxybenzyloxy, dioxolanyl-C_{1-6}-alkoxy, C_{3-8}-cycloalkyl-C_{1-6}-alkyl, C_{3-8}-cycloalkyl-C_{1-6}-alkoxy, hydroxy-C_{2-7}-alkoxy, carbamoyloxy-C_{2-7}-alkoxy, pyridylcarbamoyloxy-C_{2-7}-alkoxy, benzoyloxy-C_{2-7}-alkoxy, C_{1-6}-alkoxycarbonyl, C_{1-6}-alkylcarbonylamino, C_{1-6}-alkylcarbonylamino-C_{1-6}-alkyl, C_{1-8}-alkylcarbonylamino-C_{2-7}-alkoxy, (N-C_{1-6}-alkyl)-C_{1-6}-alkylcarbonylamino-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkylcarbonylamino-C_{2-7}-alkoxy, C_{3-6}-cycloalkylcarbonylamino-C_{1-6}-alkyl, C_{3-6}-cycloalkylcarbonylamino-C_{2-7}-alkoxy, C_{1-6}-alkoxy-C_{1-6}-alkyl, hydroxy-C_{1-6}-alkyl, hydroxy-C_{2-7}-alkoxy-C_{1-6}-alkyl, hydroxy-C_{2-7}-alkoxy-C_{1-6}-alkoxy, C_{1-6}-alkoxycarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkoxycarbonylamino-C_{2-7}-alkoxy, C_{1-6}-alkylaminocarbonylamino-C_{1-6}-alkyl, C_{1-6}-alkylaminocarbonylamino-C_{2-7}-alkoxy, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy-C_{1-6}-alkyl, di-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, di-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylcarbonyloxy-C_{1-6}-alkyl, C_{1-6}-alkylcarbonyloxy-C_{2-6}-alkoxy, cyano-C_{1-6}-alkyl, cyano-C_{1-6}-alkoxy, 2-oxooxazolidinyl-C_{1-6}-alkyl, 2-oxo-oxazolidinyl-C_{1-6}-alkoxy, C_{1-6}-alkoxycarbonyl-C_{1-6}-alkyl, C_{1-6}-alkoxycarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkylsulphonylamino-C_{1-6}-alkyl, C_{1-6}-alkylsulphonylamino-C_{2-7}-alkoxy, (N-C_{1-6}-alkyl)-C_{1-6}-alkylsulphonylamino-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkylsulphonylamino-C_{2-7}-alkoxy, C_{1-6}-alkylamino-C_{1-6}-alkyl, C_{1-6}-alkylamino-C_{2-7}-alkoxy, di-C_{1-6}-alkylamino-C_{1-6}-alkyl, di-C_{1-6}-alkylamino-C_{2-7}-alkoxy, C_{1-6}-alkylsulphonyl-C_{1-6}-alkyl, C_{1-6}-alkylsulphonyl-C_{1-6}-alkoxy, carboxy-C_{1-6}-alkyl, carboxy-C_{1-6}-alkoxy, carboxy-C_{1-6}-alkoxy-C_{1-6}-alkyl, C_{1-6}-alkoxy-C_{1-6}-alkylcarbonyl, acyl-C_{1-6}-alkoxy-C_{1-6}-alkyl, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxycarbonylamino, (N-hydroxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, (N-hydroxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, (N-hydroxy)aminocarbonyl-C_{1-6}-alkyl, (N-hydroxy)aminocarbonyl-C_{1-6}-alkoxy, C_{1-6}-alkoxy-aminocarbonyl-C_{1-6}-alkyl, 6-alkoxyaminocarbonyl-C_{1-6}-alkoxy, (N-C_{1-6}-alkoxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkyl, (N-C_{1-6}-alkoxy)-C_{1-6}-alkylaminocarbonyl-C_{1-6}-alkoxy, (N-acyl)-C_{1-6}-alkoxy-C_{1-6}-alkylamino, C_{1-6}-alkoxy-C_{1-6}-alkylcarbamoyl, (N-C_{1-6}-alkyl)-C_{1-6}-

alkoxy-C_{1-6}-alkylcarbamoyl, C_{1-6}-alkoxy-C_{1-6}-alkylcarbonyl, C_{1-6}-alkoxy-C_{1-6}-alkylcarbonylamino, (N-C_{1-6}-alkyl)-C_{1-6}-alkoxy-C_{1-6}-alkylcarbonylamino, 1-C_{1-6}-alkoxy-C_{1-6}-alkylimidazol-2-yl, 1-C_{1-6}-alkoxy-C_{1-6}-alkyltetrazol-5-yl, 5-C_{1-6}-alkoxy-C_{1-6}-alkyltetrazol-1-yl, 2-C_{1-6}-alkoxy-C_{1-6}-alkyl-4-oxoimidazol-1-yl, carbamoyl-C_{1-6}-alkyl, carbamoyl-C_{1-6}-alkoxy, C_{1-6}-alkylcarbamoyl, di-C_{1-6}-alkylcarbamoyl, C_{1-6}-alkylsulphonyl, C_{1-6}-alkylamidinyl, acetamidinyl-C_{1-6}-alkyl, O-methyloximyl-C_{1-6}-alkyl, O,N-dimethylhydroxylamino-C_{1-6}-alkyl, C_{3-6}-cycloalkyl-C_{1-6}-alkanoyl, aryl-C_{1-6}-alkanoyl or heterocyclyl-C_{1-6}-alkanoyl, or else pyridyl, pyridyloxy, pyridylthio, pyridylamino, pyridyl-C_{1-6}-alkyl, pyridyl-C_{1-6}-alkoxy, pyrimidinyl, pyrimidinyloxy, pyrimidinylthio, pyrimidinylamino, pyrimidinyl-C_{1-6}-alkyl, pyrimidinyl-C_{1-6}-alkoxy, thienyl, thienyl-C_{1-6}-alkyl, thienyl-C_{1-6}-alkoxy, furyl, furyl-C_{1-6}-alkyl or furyl-C_{1-6}-alkoxy, each of which is optionally substituted by halogen, C_{1-6}-alkyl, C_{1-6}-alkoxy or dihydroxy-C_{1-6}-alkylaminocarbonyl, piperidinoalkyl, piperidinoalkoxy, piperidinoalkoxyalkyl, morpholinoalkyl, morpholinoalkoxy, morpholinoalkoxyalkyl, piperazinoalkyl, piperazinoalkoxy, piperazinoalkoxyalkyl, [1,2,4]-triazol-1-ylalkyl, [1,2,4]-triazol-1-ylalkoxy, [1,2,4]-triazol-4-ylalkyl, [1,2,4]-triazol-4-ylalkoxy, [1,2,4]-oxadiazol-5-ylalkyl, [1,2,4]-oxadiazol-5-ylalkoxy, 3-methyl-[1,2,4]-oxadiazol-5-ylalkyl, 3-methyl-[1,2,4]-oxadiazol-5-ylalkoxy, 5-methyl-[1,2,4]-oxadiazol-3-ylalkyl, 5-methyl-[1,2,4]-oxadiazol-3-ylalkoxy, tetrazol-1-ylalkyl, tetrazol-1-ylalkoxy, tetrazol-2-ylalkyl, tetrazol-2-ylalkoxy, tetrazol-5-ylalkyl, tetrazol-5-ylalkoxy, 5-methyl-tetrazol-1-ylalkyl, 5-methyl-tetrazol-1-ylalkoxy, thiazol-4-ylalkyl, thiazol-4-ylalkoxy, oxazol-4-ylalkyl, oxazol-4-ylalkoxy, 2-oxo-pyrrolidinylalkyl, 2-oxo-pyrrolidinylalkoxy, imidazolylalkyl, imidazolylalkoxy, 2-methyl-imidazolylalkyl, 2-methyl-imidazolylalkoxy, N-methylpiperazinoalkyl, N-methylpiperazinoalkoxy, N-methylpiperazinoalkoxyalkyl, dioxolanyl, dioxanyl, dithiolanyl, dithianyl, pyrrolidinyl, piperidinyl, piperazinyl, pyrrolyl, 4-methylpiperazinyl, morpholinyl, thiomorpholinyl, 2-hydroxymethylpyrrolidinyl, 3-hydroxypyrrolidinyl, 3,4-dihydroxypyrrolidinyl, 3-acetamidomethylpyrrolidinyl, 3-C_{1-6}-alkoxy-C_{1-6}-alkyl-pyrrolidinyl, 4-hydroxypiperidinyl, 4-oxopiperidinyl, 3,5-dimethylmorpholinyl, 4,4-dioxothiomorpholinyl, 4-oxothiomorpholinyl, 2,6-dimethylmorpholinyl, 2-oxo-imidazolidinyl, 2-oxooxazolidinyl, 2-oxopyrrolidinyl, 2-oxo-[1,3]oxazinyl, 2-oxo-tetrahydropyrimidinyl and the $-O-CH_2CH(OH)CH_2NR_xR_x$ radical where NR_xR_x is a mono- or di-C_{1-6}-alkylamino, piperidino, morpholino, piperazino or N-methylpiperazino radical;

or a prodrug thereof, which, on *in vivo* application, release a compound of formula (I) by a chemical or physiological process,

or in which one or more atoms have been replaced by their stable, non-radioactive isotopes, or a salt thereof.

8. Compound according to one of Claims 1 to 6 for use in a method for the therapeutic treatment of the human or animal body.

9. Pharmaceutical preparation comprising, as an active pharmaceutical ingredient, a compound according to one of Claims 1 to 6 in free form or as a pharmaceutically usable salt.

10. Use of a compound according to one of Claims 1 to 7 for preparing a medicament for the treatment or prevention of hypertension, heart failure, glaucoma, myocardial infarction, kidney failure or restenoses.

11. Use according to Claim 10, characterized in that the preparation is effected additionally with one or more agents having cardiovascular action, for example α- and β-blockers such as phentolamine, phenoxybenzamine, prazosin, terazosin, tolazine, atenolol, metoprolol, nadolol, propranolol, timolol, carteolol etc.; vasodilators such as hydralazine, minoxidil, diazoxide, nitroprusside, flosequinan etc.; calcium antagonists such as amrinone, bencyclan, diltiazem, fendiline, flunarizine, nicardipine, nimodipine, perhexilene, verapamil, gallopamil, nifedipine etc.; ACE inhibitors such as cilazapril, captopril, enalapril, lisinopril etc.; potassium activators such as pinacidil; anti-serotoninergics such as ketanserin; thromboxane-synthetase inhibitors; neutral endopeptidase inhibitors (NEP inhibitors); angiotensin II antagonists; and also diuretics such as hydrochlorothiazide, chlorothiazide, acetazolamide, amiloride, bumetanide, benzthiazide, ethacrynic acid, furosemide, indacrinone, metolazone, spironolactone, triamteren, chlorthalidone etc.; sympatholytics such as methyldopa, clonidine, guanabenz, reserpine; and other agents which are suitable for the treatment of hypertension, heart failure or vascular diseases in humans and animals which are associated with diabetes or renal disorders such as acute or chronic renal failure.

12. Method for the treatment or prevention of hypertension, heart failure, glaucoma, myocardial infarction, kidney failure or restenoses, characterized in that the human or animal body is treated with an effective amount of a compound according to one of Claims 1 to 7.